10505337 SEARCHER/EXAMINER YONG CHU 2-17-2006

$%^STN;HighlightOn=;**HighlightOff=;**

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 05	CASREACT(R) - Over 10 million reactions available
NEWS 4	DEC 14	2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS 5	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS 7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
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NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:39:02 ON 17 FEB 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:39:11 ON 17 FEB 2006
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 FEB 2006 HIGHEST RN 874326-73-5
DICTIONARY FILE UPDATES: 15 FEB 2006 HIGHEST RN 874326-73-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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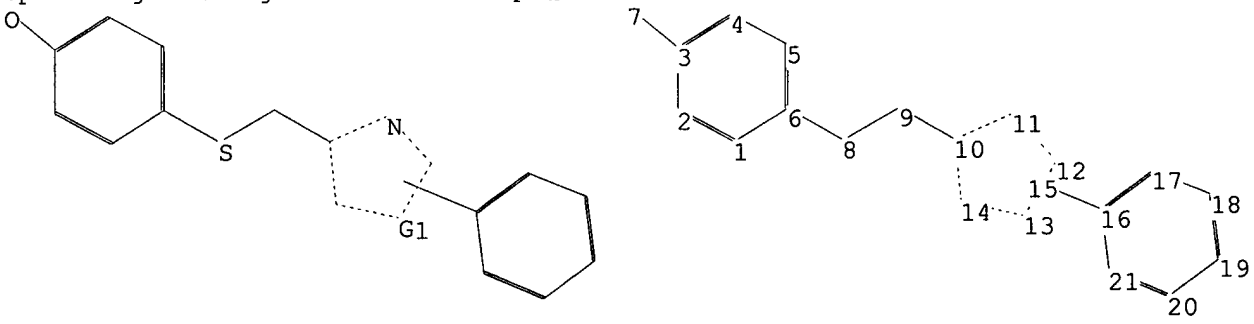

chain nodes :

7 8 9 15
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 16 17 18 19 20 21
chain bonds :
3-7 6-8 8-9 9-10 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14 16-17 16-21
17-18 18-19 19-20 20-21
exact/norm bonds :
3-7 6-8 8-9 9-10 10-11 10-14 11-12 12-13 13-14 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom

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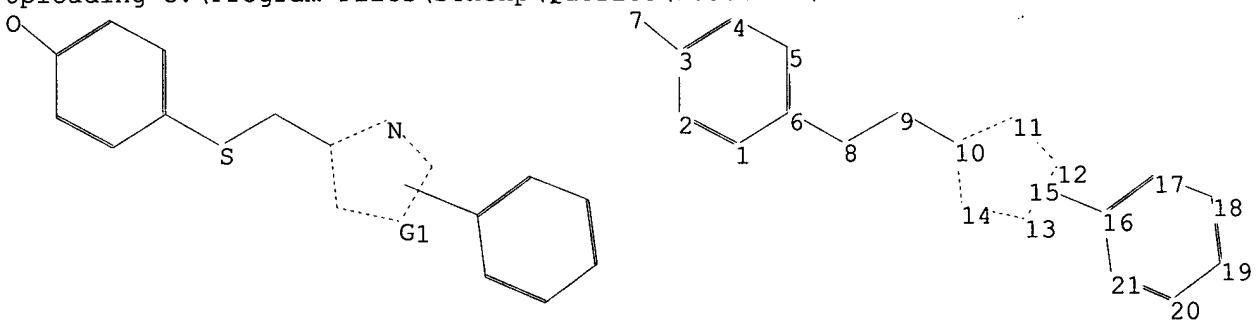
Uploading C:\Program Files\Stnexp\Queries\10505337\10505337ZA.str



chain nodes :
7 8 9 15
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 16 17 18 19 20 21
chain bonds :
3-7 6-8 8-9 9-10 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14 16-17 16-21
17-18 18-19 19-20 20-21
exact/norm bonds :
3-7 6-8 8-9 9-10 10-11 10-14 11-12 12-13 13-14 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

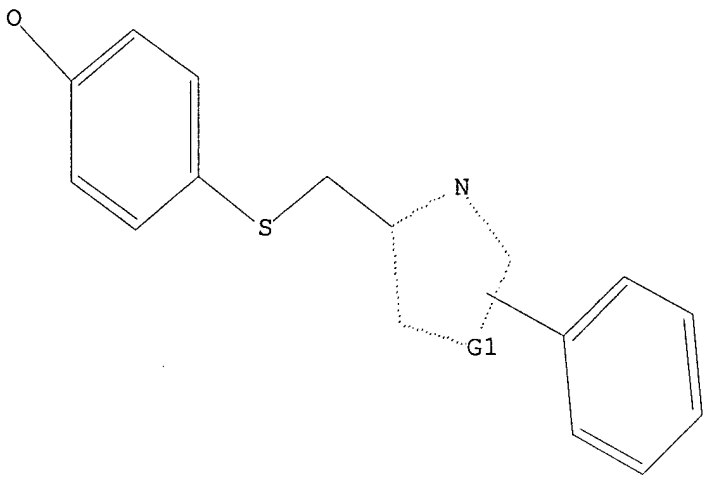
G1:O,S

Hydrogen count :
8:>= minimum 0
Connectivity :
8:2 E exact RC ring/chain

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 07:41:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 44 TO 476
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 07:41:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 205 TO ITERATE

100.0% PROCESSED 205 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 168.70 168.91

FILE 'CAPLUS' ENTERED AT 07:41:54 ON 17 FEB 2006
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FILE COVERS 1907 - 17 Feb 2006 VOL 144 ISS 9
FILE LAST UPDATED: 16 Feb 2006 (20060216/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:171871 CAPLUS
DOCUMENT NUMBER: 136:232294
TITLE: Oxazolyl-aryloxyacetic acid derivatives and thiazole analogs and their use as PPAR agonists, e.g., as antidiabetics and hypolipidemics
INVENTOR(S): Brooks, Dawn Alisa; Connor, Scott Eugene; Dominianni, Samuel James; Godfrey, Alexander Glenn; Gossett, Lann Stacy; Rito, Christopher John; Tripp, Allie Edward; Warshawsky, Alan M.; Winneroski, Leonard Larry; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 246 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

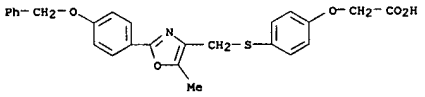
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018355	A1	20020307	WO 2001-US22615	20010823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2420178	AA	20020307	CA 2001-2420178	20010823
AU 2001084658	A5	20020313	AU 2001-84658	20010823
EP 1313715	A1	20030528	EP 2001-963732	20010823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509084	T2	20040325	JP 2002-523473	20010823
US 2004024034	A1	20040205	US 2003-343474	20030129
US 6982278	B2	20060103		
US 2005250825	A1	20051110	US 2005-181640	20050714
PRIORITY APPLN. INFO.:			US 2000-227233P	P 20000823
			WO 2001-US22615	W 20010823
			US 2003-343474	A3 20030129

OTHER SOURCE(S): MARPAT 136:232294
GI

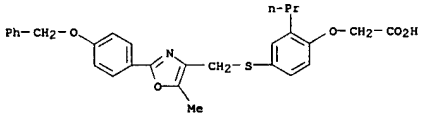
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title oxazoles I and their pharmaceutically acceptable salts, solvates, and hydrates are disclosed [wherein R1 = (un)substituted aryl, heteroaryl, cycloalkyl, aryl-alkyl, heteroaryl-alkyl, or cycloalkyl-alkyl; R2 = H, alkyl, or haloalkyl; n = 2, 3, or 4, with the resultant polymethylene chain optionally containing a carbon-carbon double bond; W = O

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 403611-64-3 CAPLUS
CN Acetic acid, [4-[[[5-methyl-2-[4-(phenylmethoxy)phenyl]-4-oxazolyl]methyl]thio]-2-propylphenoxy]- (9CI) (CA INDEX NAME)



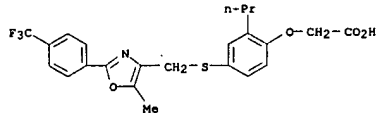
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

or S; Y = (un)substituted phenylene, naphthylene, or 1,2,3,4-tetrahydronaphthylene; R3 = H, alkyl, or haloalkyl; R4 = H, alkyl, haloalkyl or (un)substituted PhCH2; provided that when R3 = R4 = H, then R2 = alkyl or haloalkyl; R5 = H, alkyl, aminoalkyl]. Approx. 120 examples are given. One example of a thiazole analog is also given. The compds. are useful for modulating a peroxisome proliferator activated receptor, particularly in the treatment of diabetes mellitus. For instance, 2-(3-bromophenyl)-4-(chloromethyl)-5-methyloxazole (prepd. in 2 steps) underwent cyanation, hydrolysis to an acid, redn. to an alc., tosylation, and etherification with the corresponding phenol deriv. to give intermediate bromide II. The latter compd. underwent Pd-catalyzed ethynylation, hydrogenation of the ethynyl group, and alk. hydrolysis, to give title compd. III. This compd. bound to human PPARα and PPARγ receptors in vitro with IC50 values of 31 and 219 nM, resp., vs. values of 94,500 and 1180 for troglitazole, and 68,000 and 125,000 for fenofibric acid. At 30 mg/kg orally in mice (transgenic for human apoAI), III gave a 74.3% redn. in serum triglycerides and a 180% increase in high-d. lipoprotein cholesterol, vs. 41% and 48% for fenofibrate. III also gave complete normalization of blood glucose in diabetic mice at 30 mg/kg orally.

IT **403610-55-9P**, [4-[[[5-Methyl-2-[4-(trifluoromethyl)phenyl]oxazol-4-yl]methyl]sulfanyl]-2-propylphenoxy]acetic acid **403610-59-3P**, [4-[[2-(4-Benzyloxyphenyl)-5-methyloxazol-4-ylmethyl]sulfanyl]phenoxy]acetic acid **403611-64-3P**, [4-[[2-(4-Benzyloxyphenyl)-5-methyloxazol-4-ylmethyl]sulfanyl]-2-propylphenoxy]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolyl-aryloxyacetic acid derivs. and thiazole analogs and their use as PPAR agonists)

RN 403610-55-9 CAPLUS
CN Acetic acid, [4-[[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methyl]thio]-2-propylphenoxy]- (9CI) (CA INDEX NAME)



RN 403610-59-3 CAPLUS
CN Acetic acid, [4-[[[5-methyl-2-[4-(phenylmethoxy)phenyl]-4-oxazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.95	175.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.75	-0.75

STN INTERNATIONAL LOGOFF AT 07:44:01 ON 17 FEB 2006

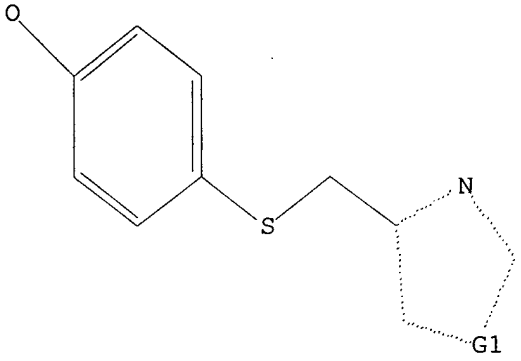
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
3-7 6-8 8-9 9-10 10-11 10-14 11-12 12-13 13-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=>
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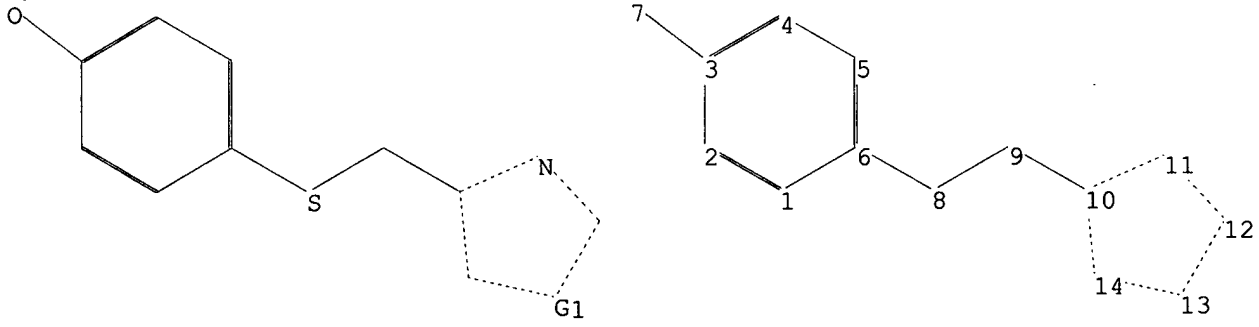


chain nodes :
7 8 9
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
3-7 6-8 8-9 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14

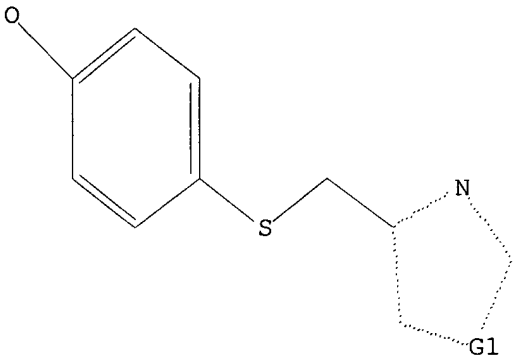
exact/norm bonds :
3-7 6-8 8-9 9-10 10-11 10-14 11-12 12-13 13-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S

Hydrogen count :
8:= exact 0
Connectivity :
8:2 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom

L2   STRUCTURE UPLOADED

=> d
L2 HAS NO ANSWERS
L2   STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l2
SAMPLE SEARCH INITIATED 11:41:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   13 TO ITERATE

100.0% PROCESSED   13 ITERATIONS   2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 44 TO   476
PROJECTED ANSWERS: 2 TO   124

L3   2 SEA SSS SAM L2

=> s l2 full
FULL SEARCH INITIATED 11:41:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   205 TO ITERATE

100.0% PROCESSED   205 ITERATIONS   15 ANSWERS
SEARCH TIME: 00.00.01

L4 15 SEA SSS FUL L2

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	168.70	168.91

FILE 'CAPLUS' ENTERED AT 11:42:03 ON 16 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 16 Feb 2006 VOL 144 ISS 8
FILE LAST UPDATED: 15 Feb 2006 (20060215/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l4
L5 8 L4

=> d ibib abs hitstr tot

DP? X

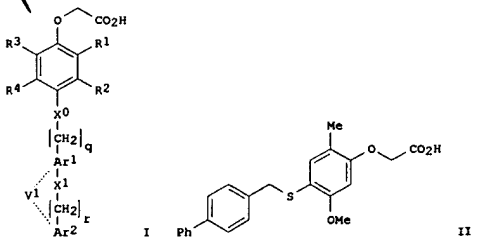
L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:818386 CAPLUS
DOCUMENT NUMBER: 139:323345
TITLE: Preparation of phenoxyacetic acids and indanyloxyacetic acids that modulate PPAR activity
INVENTOR(S): Filzen, Gary Frederick; Trivedi, Bharat Kalidas; Geyer, Andrew George; Unangst, Paul Charles; Bratton, Larry Don; Auerbach, Bruce Jeffrey
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 246 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084916	A2	20031016	WO 2003-IB1121	20030324
WO 2003084916	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003225158	A1	20031204	US 2003-347749	20030122
US 6875780	B2	20050405		
CA 2481246	AA	20031016	CA 2003-2481246	20030324
AU 2003212578	A1	20031020	AU 2003-212578	20030324
EP 1494989	A2	20050112	EP 2003-708403	20030324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009169	A	20050125	BR 2003-9169	20030324
JP 2005521741	T2	20050721	JP 2003-582115	20030324
US 2005113440	A1	20050526	US 2004-979629	20041102
US 6964983	B2	20051115		
US 2005153996	A1	20050714	US 2004-979617	20041102
US 6939875	B2	20050906		
NO 2004004795	A	20041104	NO 2004-4795	20041104

PRIORITY APPLN. INFO.:
US 2002-370508P P 20020405
US 2002-386026P P 20020605
US 2003-347749 A3 20030122
WO 2003-IB1121 W 20030324
US 2003-463641P P 20030417

OTHER SOURCE(S): MARPAT 139:323345
GI

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



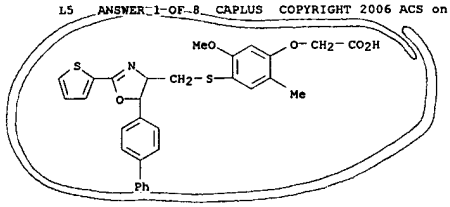
I II

AB The title compds. [I; X0, X1 = O, S, CH2, CH:CH, etc.; Ar1, Ar2 = (un)substituted (hetero)aryl, provided that Ar1 is not thiazolyl or oxazolyl; V1 is absent or V1 = (un)saturated (un)substituted hydrocarbon chain having 1-4 atoms; R1, R2 = H, alkyl, alkoxy, etc.; R3, R4 = H, alkyl, alkoxy, etc.; q, r = 0-6] that alter PPAR activity, were prepared and formulated. E.g., a 7-step synthesis of II (starting from 2-hydroxy-4-methoxybenzaldehyde) which showed EC50 of >0-300 nM against PPARα and PPARβ, was given. The invention also discloses pharmaceutically acceptable compns. comprising the compds. I or their salts, and methods of using them as therapeutic agents for treating or preventing hyperlipidemia, hypercholesteremia, obesity, eating disorders, hyperglycemia, atherosclerosis, hypertriglyceridemia, hyperinsulinemia and diabetes in a mammal as well as methods of suppressing appetite and modulating leptin levels in a mammal.

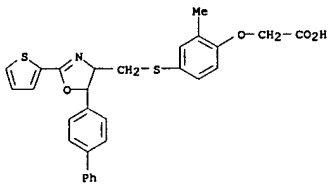
IT **613239-23-9P 613239-26-2P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of phenoxyacetic acids and indanyloxyacetic acids that modulate PPAR activity)

RN 613239-23-9 CAPLUS
CN Acetic acid, [4-[[[5-[1,1'-biphenyl]-4-yl-4,5-dihydro-2-(2-thienyl)-4-oxazolyl]methyl]thio]-5-methoxy-2-methylphenoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 613239-26-2 CAPLUS
CN Acetic acid, [4-[[[5-[1,1'-biphenyl]-4-yl-4,5-dihydro-2-(2-thienyl)-4-oxazolyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



not the same synthesis

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:171871 CAPLUS
DOCUMENT NUMBER: 136:232294
TITLE: Oxazolyl-aryloxyacetic acid derivatives and thiazole analogs and their use as PPAR agonists, e.g., as antidiabetics and hypolipidemics
INVENTOR(S): Brooks, Dawn Alisa; Connor, Scott Eugene; Dominianni, Samuel James; Godfrey, Alexander Glenn; Gossett, Lann Stacy; Rito, Christopher John; Tripp, Allie Edward; Warshawsky, Alan M.; Winneroski, Leonard Larry; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 246 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018355	A1	20020307	WO 2001-US22615	20010823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2420178	AA	20020307	CA 2001-2420178	20010823
AU 2001084658	A5	20020313	AU 2001-84658	20010823
EP 1313715	A1	20030528	EP 2001-963732	20010823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509084	T2	20040325	JP 2002-523473	20010823
US 2004024034	A1	20040205	US 2003-343474	20030129
US 6982278	B2	20060103		
US 2005250825	A1	20051110	US 2005-181640	20050714

PRIORITY APPLN. INFO.:
US 2000-227233P P 20000823
WO 2001-US22615 W 20010823
US 2003-343474 A3 20030129

OTHER SOURCE(S): MARPAT 136:232294
GI

PCT/US01/22615 (02)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

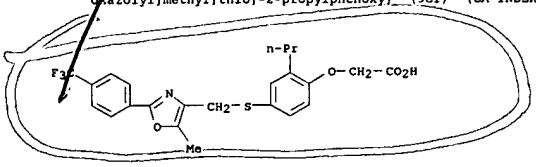
AB The title oxazoles I and their pharmaceutically acceptable salts, solvates, and hydrates are disclosed [wherein R1 = (un)substituted aryl, heteroaryl, cycloalkyl, aryl-alkyl, heteroaryl-alkyl, or cycloalkyl-alkyl; R2 = H, alkyl, or haloalkyl; n = 2, 3, or 4, with the resultant polymethylene chain optionally containing a carbon-carbon double bond; W = O

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

or S; Y = (un)substituted phenylene, naphthylene, or 1,2,3,4-tetrahydronaphthylene; R3 = H, alkyl, or haloalkyl; R4 = H, alkyl, haloalkyl or (un)substituted PhCH2; provided that when R3 = R4 = H, then R2 = alkyl or haloalkyl; R5 = H, alkyl, aminoalkyl]. Approx. 120 examples are given. One example of a thiazole analog is also given. The compds. are useful for modulating a peroxisome proliferator activated receptor, particularly in the treatment of diabetes mellitus. For instance, 2-(3-bromophenyl)-4-(chloromethyl)-5-methyloxazole (prepd. in 2 steps) underwent cyanation, hydrolysis to an acid, redn. to an alc., tosylation, and etherification with the corresponding phenol deriv. to give intermediate bromide II. The latter compd. underwent Pd-catalyzed ethynylation, hydrogenation of the ethynyl group, and alk. hydrolysis, to give title compd. III. This compd. bound to human PPARα and PPARγ receptors in vitro with IC50 values of 31 and 219 nM, resp., vs. values of 94,500 and 1180 for troglitazole, and 68,000 and 125,000 for fenofibric acid. At 30 mg/kg orally in mice (transgenic for human apoAI), III gave a 74.3% redn. in serum triglycerides and a 180% increase in high-d. lipoprotein cholesterol, vs. 41% and 48% for fenofibrate. III also gave complete normalization of blood glucose in diabetic mice at 30 mg/kg orally.

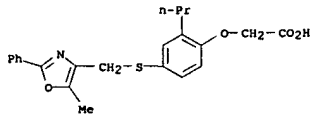
IT **403610-55-9P**, [4-[[[5-Methyl-2-[4-(trifluoromethyl)phenyl]oxazol-4-yl]methyl]sulfanyl]-2-propylphenoxy]acetic acid **403610-56-0P**, [4-[[(5-Methyl-2-phenyloxazol-4-yl)methyl]sulfanyl]-2-propylphenoxy]acetic acid **403610-57-1P**, [4-[[2-(4-Bromophenyl)-5-methyloxazol-4-yl]methyl]sulfanyl]-2-propylphenoxy]acetic acid **403610-59-3P**, [4-[[2-(4-Benzyloxyphenyl)-5-methyloxazol-4-ylmethyl]sulfanyl]phenoxy]acetic acid **403611-64-3P**, [4-[[2-(4-Benzyloxyphenyl)-5-methyloxazol-4-ylmethyl]sulfanyl]-2-propylphenoxy]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolyl-aryloxyacetic acid derivs. and thiazole analogs and their use as PPAR agonists)

RN 403610-55-9 CAPLUS
CN Acetic acid, [4-[[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methyl]thio]-2-propylphenoxy]- (9CI) (CA INDEX NAME)

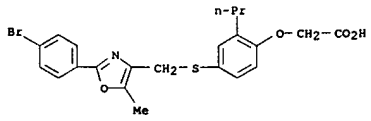


RN 403610-56-0 CAPLUS
CN Acetic acid, [4-[[(5-methyl-2-phenyl-4-oxazolyl]methyl]thio]-2-propylphenoxy]- (9CI) (CA INDEX NAME)

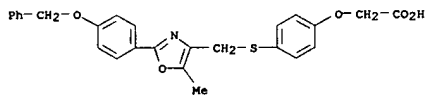
L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



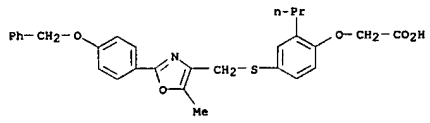
RN 403610-57-1 CAPLUS
CN Acetic acid, [4-[[[2-(4-bromophenyl)-5-methyl-4-oxazolyl]methyl]thio]-2-propylphenoxy]- (9CI) (CA INDEX NAME)



RN 403610-59-3 CAPLUS
CN Acetic acid, [4-[[[5-methyl-2-[4-(phenylmethoxy)phenyl]-4-oxazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN 403611-64-3 CAPLUS
CN Acetic acid, [4-[[[5-methyl-2-[4-(phenylmethoxy)phenyl]-4-oxazolyl]methyl]thio]-2-propylphenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

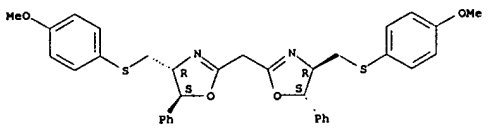
ACCESSION NUMBER: 2002:87893 CAPLUS
DOCUMENT NUMBER: 136:401587
TITLE: Developments in the Simmons-Smith-mediated epoxidation reaction
AUTHOR(S): Aggarwal, Varinder K.; Coogan, Michael P.; Stenson, Rachel A.; Jones, Raymond V. H.; Fieldhouse, Robin; Blacker, John
CORPORATE SOURCE: The University of Sheffield, Sheffield, S3 7HF, UK
SOURCE: European Journal of Organic Chemistry (2002), (2), 319-326
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:401587

AB The reaction between Et2Zn, ClCH2I, sulfide, and aldehyde furnishes terminal epoxides in high yields. The reaction occurs via a Zn carbenoid, which reacts with the sulfide to furnish an ylide, which in turn reacts with the aldehyde to give the epoxide. Chiral ligands capable of chelation to Zn [1,2-amino alcs., amino acids, bis(oxazolines), taddols] were examined, but only low enantioselectivity was observed (up to 11% ee). A number of chiral sulfides were also examined, but again only low enantioselectivity was observed (up to 16% ee). However, linking a sulfide to a metal capable of chelation to Zn [a bis(oxazoline) bearing a sulfide at the 5 position] produced a reagent that gave up to 54% ee in the epoxidn. process. The same system was applied to the preparation of terminal aziridines from imines. The optimum group on N was a sulfonyl group, although groups capable of chelation of Zn (o-methoxyphenyl) were also effective. Attempts to render the aziridination process asym. by using the above strategy were less successful (up to 19% ee).

IT **430429-33-7P**
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(preparation and Simmons-Smith epoxidn. of aldehydes)

RN 430429-33-7 CAPLUS
CN Oxazole, 2,2'-methylenebis[4,5-dihydro-4-[[(4-methoxyphenyl)thio]methyl]-5-phenyl-, (4R,4'R,5S,5'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

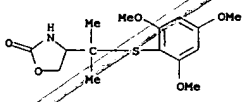
L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:868945 CAPLUS
DOCUMENT NUMBER: 136:575
TITLE: Infrared thermography and methods of use
INVENTOR(S): Marek, Przemyslaw A.; Trocha, Andzrej M.
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2001046471	A1	20011129	US 2001-850081		20010508
US 6762202	B2	20040713			
US 2004162243	A1	20040819	US 2004-781705		20040220
PRIORITY APPLN. INFO.:			US 2000-202935P	P	20000509
			US 2001-850081	A1	20010508

OTHER SOURCE(S): MARPAT 136:575
AB The present invention describes rapid noninvasive methods for measuring vasodilation or changes in blood flow in a patient following administration of at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase and/or at least one vasoactive agent. The method comprises the administration of at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase and/or at least one vasoactive agent to the patient followed by monitoring the temperature change of an area of interest using IR thermog. The present invention provides methods for diagnosing diseases or disorders related to vasodilation and changes in blood flow, such as, sexual dysfunction, Raynaud's syndrome, inflammation, hypertension, gastrointestinal disorders and central nervous system disorders. The sexual dysfunction is preferably female sexual dysfunction and female sexual arousal. The vasoactive agents include potassium channel activators, calcium channel blockers, α-adrenergic receptor antagonists, β-blockers, phosphodiesterase inhibitors, adenosine, ergot alkaloids, vasoactive intestinal peptides, prostaglandins, dopamine agonists, opioid antagonists, endothelin antagonists and thromboxane inhibitors. The present invention can also be used to screen and identify drug candidates for treating diseases, disorders and conditions resulting from vasodilation or changes in blood flow. The present invention also describes compns. comprising at least one S-nitrosothiol compound for diagnosing, monitoring and/or treating female sexual dysfunctions.
IT 375371-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(IR thermog. for measuring vasodilation or changes in blood flow following administration of nitric oxide donor)
RN 375371-28-1 CAPLUS
CN 2-Oxazolidinone, 4-[1-methyl-1-[(2,4,6-trimethoxyphenyl)thio]ethyl]- (9CI)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(CA INDEX NAME)

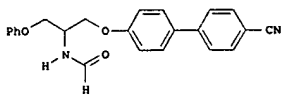


REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:703781 CAPLUS
DOCUMENT NUMBER: 135:257040
TITLE: Preparation of hydroxamates as matrix metalloproteinase inhibitors
INVENTOR(S): Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Dellaria, Joseph F., Jr.; Florjancic, Alan S.; Gong, Jianchun; Guo, Yan; Heyman, Howard R.; Holms, James H.; Michaelides, Michael R.; Stacey, Jamie R.; Steinman, Douglas H.; Wada, Carol K.; Xu, Lianhong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 239,087.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6294573	B1	20010925	US 2000-492567		20000127
US 2002007060	A1	20020117	US 2001-905242		20010716
PRIORITY APPLN. INFO.:			US 1997-55103P	P	19970806
			US 1998-129360	B2	19980805
			US 1999-239087	A2	19990127

OTHER SOURCE(S): MARPAT 135:257040
GI

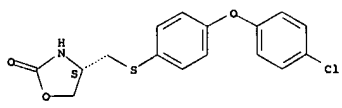


II

AB R2Z1Z2CR3R4CR1R2N(OH)CHO [I; R = (un)substituted (hetero)aryl; R1,R3 = H or alkyl; R2,R4 = H (un)substituted alkyl, phenyl(alkyl), etc.; Z = bond, O, CO, alkylene, etc.; Z1 = (un)substituted phenylene; Z2 = O, CO, SO2NH, etc.] were prepared Thus, epibromohydrin was etherified by PhOH and the product etherified by 4-(HO)C6H4C6H4(CN)-4 to give PhOCH2CH(OH)CH2OC6H4[C6H4(CN)-4]-4 which was aminated by HN(CO2CMe3)OCO2CMe3 to give, after deprotection and formylation, title compound II. Data for biol. activity of I were given.
IT 361547-12-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxamates as matrix metalloproteinase inhibitors)
RN 361547-12-8 CAPLUS
CN 2-Oxazolidinone, 4-[[[4-(4-chlorophenoxy)phenyl]thio]methyl]-, (4S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

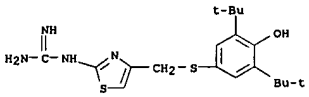


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:265450 CAPLUS
DOCUMENT NUMBER: 126:277465
TITLE: Preparation and formulation of guanidinothiazole derivatives as Maillard reaction inhibitors and antioxidants
INVENTOR(S): Matsui, Toshiaki; Tatsumi, Tadashi; Oonada, Shuichi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 53 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

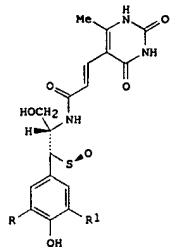
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09059258	A2	19970304	JP 1995-225989	19950811
PRIORITY APPLN. INFO.:			JP 1995-225989	19950811

OTHER SOURCE(S): MARPAT 126:277465
GI For diagram(s), see printed CA Issue.
AB The title compds. I [Z = S, etc.; R1 = H, alkyl, etc.; A = bond, alkylene,
etc.; ring D is benzoquinone with substituents (generic structure given), etc.] are prepared The title compound II.HCl in vitro showed IC50 of 0.82
μM against lipid peroxidn.
IT **188611-81-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidinothiazole derivs. as Maillard reaction inhibitors
and antioxidants)
RN 188611-81-6 CAPLUS
CN Guanidine,
[4-[[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]methyl]-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:497264 CAPLUS
DOCUMENT NUMBER: 113:97264
TITLE: The chiral synthesis and biochemical properties of electron rich phenolic sulfoxide analogs of sparsomycin
AUTHOR(S): Flynn, Gary A.; Ash, Ronald J.
CORPORATE SOURCE: Merrell Dow Res. Inst., Cincinnati, OH, 45215, USA
SOURCE: Biochemical and Biophysical Research Communications (1990), 166(2), 673-80
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

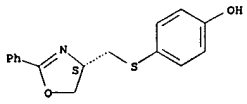


I

AB A novel route to activated phenolic sulfoxide analogs I (R = H, iodo, 15I,
R1 = H; R= R1 = iodo) of sparsomycin has been developed. These analogs display an enhanced preincubation effect as inhibitors of peptide bond formation. This time-dependent component of inhibition, which is postulated to result from an enzyme-mediated Pummerer rearrangement, is the dominant route to inhibition in these activated analogs.
IT **128883-90-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and S-oxidation of)
RN 128883-90-9 CAPLUS
CN Phenol, 4-[[(4,5-dihydro-2-phenyl-4-oxazolyl)methyl]thio]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

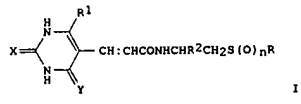
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:514838 CAPLUS
DOCUMENT NUMBER: 105:114838
TITLE: Sparsomycin derivatives
INVENTOR(S): Beight, Douglas W.; Flynn, Gary A.
PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

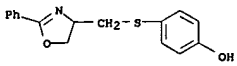
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 168813	A1	19860122	EP 1985-108888		19850716
EP 168813	B1	19931110			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
US 4595687	A	19860617	US 1984-632133		19840718
CA 1250577	A1	19890228	CA 1985-486836		19850715
AT 97128	E	19931115	AT 1985-108888		19850716
JP 61040274	A2	19860226	JP 1985-156177		19850717
US 4730044	A	19880308	US 1987-18765		19870224
PRIORITY APPLN. INFO.:			US 1984-632133	A	19840718
			EP 1985-108888	A	19850716
			US 1986-840631	A2	19860317

OTHER SOURCE(S): CASREACT 105:114838; MARPAT 105:114838
GI



I

AB The title compds. I (R = C1-6 alkyl, C3-8 alkenyl, NCCH2, HO2CCH2, O2NCH2,
Ph, heterocyclyl, etc.; R1 = H, C1-4 alkyl; R2 = H, C1-4 alkyl, C2-5 acyl,
Bz; X, Y = O, HN; n = 0-2) and their salts, useful as antibacterials and antiprotozoals (no data), were prepared Thus, 4-HOC6H4SCH2CH(NH2)CO2Me prepared by the reaction of CH2:C(NHCO2Bu)CO2Me with 4-HSC6H4OH, in DMF was
treated with Et3N, and then coupled with 6-methyluracilacrylic acid and hydroxybenzotriazole to give N-[1-carbomethoxy-2-[(4-hydroxyphenyl)thio]ethyl]-3-(6-methyluracil)-2-propenamide.
IT **104005-03-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 104005-03-0 CAPLUS
CN Phenol, 4-[[(4,5-dihydro-2-phenyl-4-oxazolyl)methyl]thio]- (9CI) (CA INDEX NAME)


Ph
N
O
CH2
S
OH